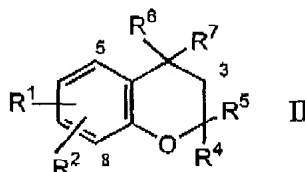


Clean copy of pending claims

4. A compound of the formula:



wherein:

R^1 is OH, $O(CH_2)_{1-2}OH$, OCH_2CO_2H , CO_2H , $O-Z-C(O)NH(CH_2)_{1-6}R^{17}$ or $OCH_2-4-Phe-C(O)NH(CH_2)_{1-6}R^{17}$;

R^2 is H or lower alkyl;

R^3 is H, alkyl, aryl, or arylalkyl;

R^4 and R^5 are each independently H, lower alkyl, or substituted lower alkyl where the substituents are 1-3 alkoxy, aryl, substituted aryl, carboxamido; or

R^4 and R^5 taken together are $-(CH_2)_n-$, $-(CH_2)_2-O-(CH_2)_2-$, $-CH_2-O-(CH_2)_3-$, $-(CH_2)_2-NR^8-(CH_2)_2-$, $-CH_2-NR^8-(CH_2)_m-$, $-(CH_2)_2CH(NHR^8)(CH_2)_2-$, $-(CH_2)_2-S(O)_{0-2}-(CH_2)_2-$, or $-CH_2CH(N-loweralkyl)(CH_2)_2CHCH_2-$;

one of R^6 and R^7 is H and the other is OH, or $N(CH_2)_{1-6}R^{14}R^{15}$; or

R^6 and R^7 taken together are or;

R^8 is H, $COOR^9$, $CONHR^{10}$, $CSNHR^{11}$, COR^{12} , SO_2R^{13} , lower alkyl, aryl lower alkyl, heteroaryl, or heteroaryl lower alkyl, wherein aryl is optionally substituted with 1-3 substituents selected from lower alkyl, lower alkoxy, halo, CN, NH_2 , $COOH$, $CONH_2$, and mono-lower alkylamino and wherein heteroaryl is a mono- or bicyclic heteroaromatic ring system of 5 to 10 members including 1 to 3 heteroatoms selected from O, N, and S and 0-3 substituents selected from halo, amino, cyano, lower alkyl, $CONH_2$, and S-lower alkyl;

R^9 is lower alkyl, aryl, aryl lower alkyl, heteroaryl, aryl substituted by 1-3 substituents selected from alkyl, alkenyl, alkoxy, and halo, or a 5- to 6-membered heterocyclic ring containing O or N as a heteroatom, wherein heteroaryl is a heteroaromatic ring of 5 to 6 members

including 1 to 2 heteroatoms selected from O, N, and S and 0-2 substituents selected from lower alkyl, dialkylamino, lower alkoxy, and halo;

R¹⁰ and R¹¹ are each independently lower alkyl, aryl, aryl lower alkyl, or aryl substituted by 1-3 substituents selected from lower alkyl, halo, alkoxy and haloalkyl;

R¹² is lower alkyl, aryl, heteroaryl, aryl lower alkyl, heteroaryl lower alkyl, a 5- or 6-membered heterocyclic ring containing 1-2 heteroatoms selected from O, S, and N, a 5- or 6-membered heterocyclic ring containing 1-2 heteroatoms selected from O, S, and N-lower alkyl, or aryl substituted with 1-3 substituents selected from lower alkyl, alkoxy, halo, sulfamoyl, lower alkyl sulfamoyl, cyano, and phenyl;

R¹³ is lower alkyl, aryl, or aryl substituted with 1-3 substituents selected from lower alkyl, alkoxy, halo, CN, and haloalkyl;

R¹⁴ is H; alkyl; alkyl substituted by 1-3 alkoxy, S-lower alkyl, sulfamoyl, halo, alkylsulphonamido, or arylsulphonamido; alkenyl; alkynyl; aryl; substituted aryl; heteroaryl; substituted heteroaryl; heterocycloalkyl; -CH₂NR¹⁶C(O)R¹⁶; -C(O)NR¹⁶R¹⁶; -CH₂OC(O)R¹⁶; or -CH₂SC(O)R¹⁶;

R¹⁵ is H, alkyl, -C(O)X, -C(S)X, or -C(NCN)NR³R³;

R¹⁶ is lower alkyl, substituted lower alkyl, aryl, or substituted aryl;

R¹⁷ is H; alkyl; alkyl substituted by 1-3 alkoxy, S-lower alkyl, sulfamoyl, halo, alkylsulphonamido, or arylsulphonamido; alkenyl; alkynyl; aryl; substituted aryl; heteroaryl; substituted heteroaryl; heterocycloalkyl; -CH₂NR¹⁶C(O)R¹⁶; -C(O)NR¹⁶R¹⁶; -CH₂OC(O)R¹⁶; or -CH₂SC(O)R¹⁶;

X is alkyl, aryl, arylalkyl, O-loweralkyl, or -NR³R³;

Z is -(CH₂)₁₋₆-, optionally substituted with 1-3 lower alkyl; -CHR²-; -Phe-CH₂-, where Phe is optionally mono-substituted with halogen, lower alkyl, or alkoxy; or heteroarylene-(CH₂)_n;

m is 2 or 3; and

n is 4-9;

or a pharmaceutically acceptable salt thereof.

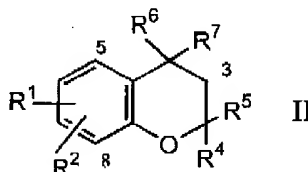
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Changes made to claim 4

4. A compound of the formula:



wherein:

R^1 is OH, $O(CH_2)_{1-2}OH$, OCH_2CO_2H , CO_2H , $O-Z-C(O)NH(CH_2)_{1-6}R^{17}$ or $OCH_2-4-Phe-C(O)NH(CH_2)_{1-6}R^{17}$;

R^2 is H or lower alkyl;

R^3 is H, alkyl, aryl, or arylalkyl;

R^4 and R^5 are each independently H, lower alkyl, or substituted lower alkyl where the substituents are 1-3 alkoxy, aryl, substituted aryl, [carboalkoxy,] carboxamido, [di-loweralkylamido] ; or

R^4 and R^5 taken together are $-(CH_2)_n-$, $-(CH_2)_2-O-(CH_2)_2-$, $-CH_2-O-(CH_2)_3-$, $-(CH_2)_2-NR^8-(CH_2)_2-$, $-CH_2-NR^8-(CH_2)_m-$, $-(CH_2)_2CH(NHR^8)(CH_2)_2-$, $-(CH_2)_2-S(O)_{0.2}-(CH_2)_2-$, or $-CH_2CH(N\text{-loweralkyl})(CH_2)_2CHCH_2-$;

one of R^6 and R^7 is H and the other is [H,] OH, or $N(CH_2)_{1-6}R^{14}R^{15}$; or

R^6 and R^7 taken together are or , [with the proviso that when R^1

is-OH and R^2 is -H, R^6 and R^7 are not -H and -OH or when taken together are not];

R^8 is H, $COOR^9$, $CONHR^{10}$, $CSNHR^{11}$, COR^{12} , SO_2R^{13} , lower alkyl, aryl lower alkyl, heteroaryl, or heteroaryl lower alkyl, wherein aryl is optionally substituted with 1-3 substituents selected from lower alkyl, lower alkoxy, halo, CN, NH_2 , $COOH$, $CONH_2$, [carboalkoxy] and mono- [or di-] lower alkylamino and wherein heteroaryl is a mono- or bicyclic heteroaromatic ring system of 5 to 10 members including 1 to 3 heteroatoms selected from O, N, and S and 0-3 substituents selected from halo, amino, cyano, lower alkyl, $CONH_2$, and S-lower alkyl;

- R^9 is lower alkyl, aryl, aryl lower alkyl, heteroaryl, aryl substituted by 1-3 substituents selected from alkyl, alkenyl, alkoxy, and halo, or a 5- to 6-membered heterocyclic ring containing O or N as a heteroatom, wherein heteroaryl is a heteroaromatic ring of 5 to 6 members including 1 to 2 heteroatoms selected from O, N, and S and 0-2 substituents selected from lower alkyl, dialkylamino, lower alkoxy, and halo;
- R^{10} and R^{11} are each independently lower alkyl, aryl, aryl lower alkyl, or aryl substituted by 1-3 substituents selected from lower alkyl, halo, alkoxy and haloalkyl;
- R^{12} is lower alkyl, aryl, heteroaryl, aryl lower alkyl, heteroaryl lower alkyl, a 5- or 6-membered heterocyclic ring containing 1-2 heteroatoms selected from O, S, and N, a 5- or 6-membered heterocyclic ring containing 1-2 heteroatoms selected from O, S, and N-lower alkyl, or aryl substituted with 1-3 substituents selected from lower alkyl, alkoxy, halo, sulfamoyl, lower alkyl sulfamoyl, cyano, and phenyl;
- R^{13} is lower alkyl, aryl, or aryl substituted with 1-3 substituents selected from lower alkyl, alkoxy, halo, CN, and haloalkyl;
- R^{14} is H; alkyl; alkyl substituted by 1-3 alkoxy, S-lower alkyl, sulfamoyl, halo, alkylsulphonamido, or arylsulphonamido; alkenyl; alkynyl; aryl; substituted aryl; heteroaryl; substituted heteroaryl; heterocycloalkyl; $-\text{CH}_2\text{NR}^{16}\text{C}(\text{O})\text{R}^{16}$; $-\text{C}(\text{O})\text{NR}^{16}\text{R}^{16}$; $-\text{CH}_2\text{OC}(\text{O})\text{R}^{16}$; or $-\text{CH}_2\text{SC}(\text{O})\text{R}^{16}$;
- R^{15} is H, alkyl, $-\text{C}(\text{O})\text{X}$, $-\text{C}(\text{S})\text{X}$, or $-\text{C}(\text{NCN})\text{NR}^3\text{R}^3$;
- R^{16} is lower alkyl, substituted lower alkyl, aryl, or substituted aryl;
- R^{17} is H; alkyl; alkyl substituted by 1-3 alkoxy, S-lower alkyl, sulfamoyl, halo, alkylsulphonamido, or arylsulphonamido; alkenyl; alkynyl; aryl; substituted aryl; heteroaryl; substituted heteroaryl; heterocycloalkyl; $-\text{CH}_2\text{NR}^{16}\text{C}(\text{O})\text{R}^{16}$; $-\text{C}(\text{O})\text{NR}^{16}\text{R}^{16}$; $-\text{CH}_2\text{OC}(\text{O})\text{R}^{16}$; or $-\text{CH}_2\text{SC}(\text{O})\text{R}^{16}$;
- X is alkyl, aryl, arylalkyl, O-loweralkyl, or $-\text{NR}^3\text{R}^3$;
- Z is $-(\text{CH}_2)_{1-6}$, optionally substituted with 1-3 lower alkyl; $-\text{CHR}^2$; $-\text{Phe-CH}_2-$, where Phe is optionally mono-substituted with halogen, lower alkyl, or alkoxy; or heteroarylene- $(\text{CH}_2)-$;
- m is 2 or 3; and
- n is 4-9;

or a pharmaceutically acceptable salt thereof.

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June 26, 2003